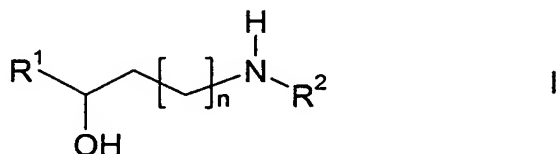


Patent Claims

1. Process for the enantioselective preparation of amino alcohols of the formula I



in which

R^1 denotes a saturated, unsaturated or aromatic carbocyclic or heterocyclic radical which is unsubstituted or mono- or polysubstituted by R^3 and/or R^4 ,

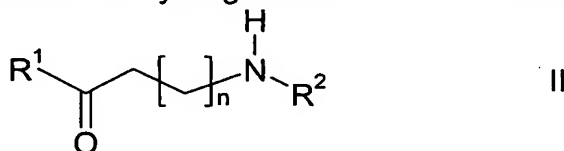
R^2 denotes alkyl having 1-20 C atoms or H,

R^3, R^4 each, independently of one another, denote H, alkyl or alkoxy having 1-20 C atoms, aryl, aryloxy or COOR^2 , F, Cl, Br, OH, CN, NO_2 , $\text{N(R}^2\text{)}_2$ or NHCOR^2

and

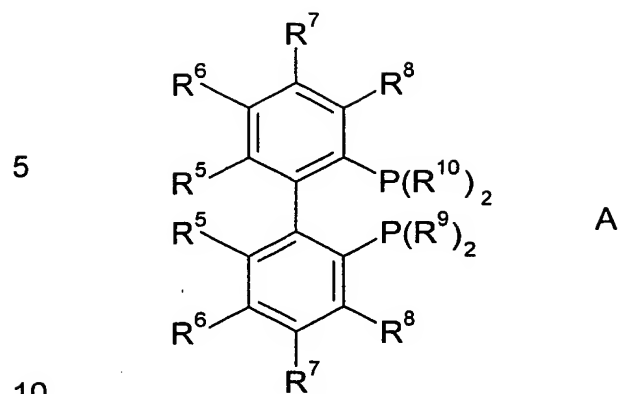
n denotes 0, 1, 2 or 3,

by enantioselective hydrogenation of amino ketones of the formula II



in which

R^1, R^2 and n have the meaning indicated above, in the presence of a non-racemic catalyst, characterised in that the catalyst is a transition-metal complex in which the transition metal is complexed to a chiral diphosphine ligand A



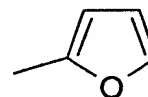
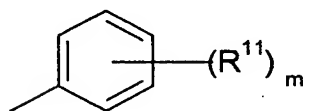
in which

R^5 , R^6 , R^7 and R^8

each, independently of one another, denote H, alkyl or alkoxy having 1-20 C atoms, aryl, aryl-oxy or F, Cl, Br, $N(R^2)_2$ or $NHCOR_2$

each, independently of one another, denote

R^9 and R^{10}



or cyclohexyl

R^{11}

denotes H, alkyl or alkoxy having 1-20 C atoms, aryl, aryloxy or SO_3Na , $COOR^{12}$, F, Cl, $N(R^{12})_2$ or $NHCOR^{12}$,

R^{12}

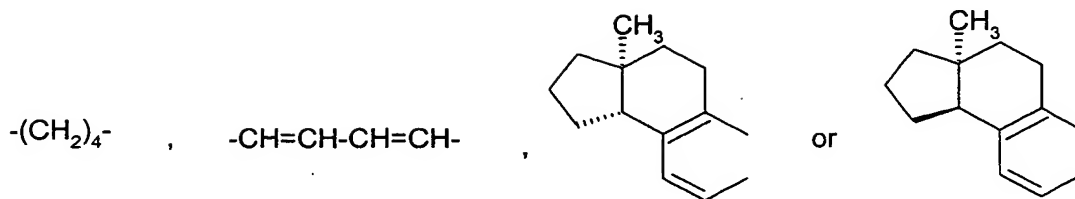
denotes alkyl having 1-20 C atoms or H

and

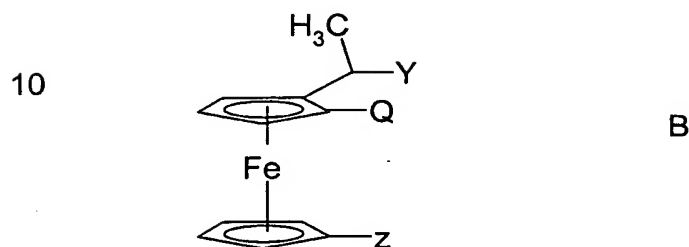
m

denotes 0, 1, 2 or 3,

where R^5 and R^6 , R^6 and R^7 and R^7 and R^8 together can also have the meaning



or B



15 in which

Y denotes OH, P(cyclohexyl)₂, P(3,5-dimethylphenyl)₂ or P(C(CH₃)₃)₂,

Z denotes H or P(phenyl)₂,

20 Q denotes PPh₂, P(cyclohexyl)₂, P[3,5-bis(trifluoromethyl)phenyl]₂, P(4-methoxy-3,5-dimethylphenyl)₂ or P(C(CH₃)₃)₂

and

Ph denotes phenyl, o-, m- or p-methylphenyl or dimethylphenyl.

25 2. Process according to Claim 1, in which R¹ denotes phenyl or 2-thienyl.

3. Process according to Claim 1 or 2, in which R² denotes methyl, ethyl, n-propyl or isopropyl.

30 4. Process according to one or more of Claims 1 to 3, in which n denotes 1.

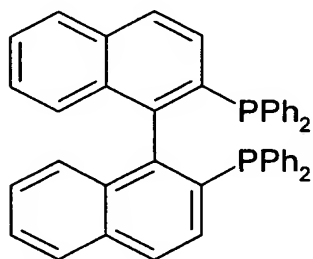
5. Process according to Claim 1 for the preparation of (S)-3-methylamino-1-phenyl-1-propanol or (S)-3-methylamino-1-(2-thienyl)-1-propanol or acid-addition salts thereof.

35 6. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 5, characterised in that the chiral, non-

racemic catalyst is a transition-metal complex containing one or more metals or salts thereof selected from the group consisting of rhodium, iridium, ruthenium and palladium.

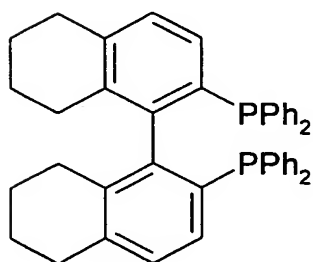
- 5
7. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 6, characterised in that the chiral, non-racemic catalyst is a transition-metal complex containing rhodium or salts thereof.
- 10
8. Process according to one or more of the preceding claims, characterised in that the chiral diphosphine ligand used is a compound of the formula A1 to A5:

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A1

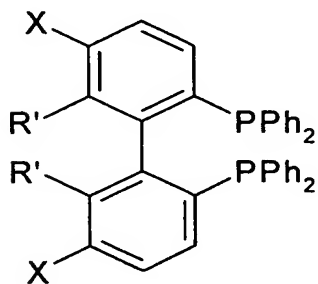
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A2

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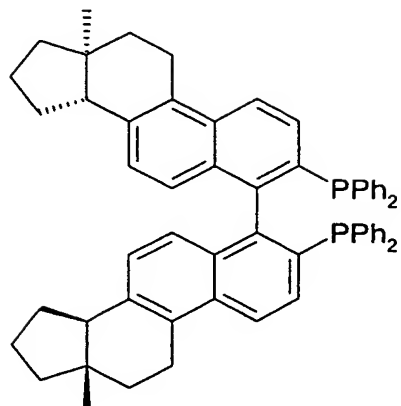
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A3

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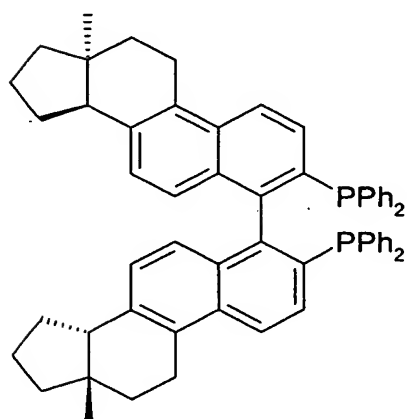
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A4

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A5

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in which Ph has the meaning indicated in Claim 1, and X denotes H, alkyl, O(alkyl), Cl, or F, and R' denotes alkyl O(alkyl) or F.

25

9. Process according to Claim 7 or 8, characterised in that the chiral diphosphine ligand used is (S)-(-)-2,2'-bis(di-p-tolylphosphino)-1,1'-binaphthyl or (S)-(-)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl.

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10. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 9, characterised in that the reaction temperature is between 0 and 200°C.

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11. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 10, characterised in that the catalyst/substrate ratio is between 1:5000 and 1:50.

- 5 12. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 11, characterised in that the hydrogenation is carried out under 1-200 bar of hydrogen.
13. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 12, characterised in that the hydrogenation is carried out in the presence of an alcohol.
- 10 14. Process for the preparation of compounds of the formula I according to one or more of the preceding claims, characterised in that the chiral, non-racemic catalyst is a transition-metal complex containing sulfate, chloride, bromide, iodide, PF₆, BF₄, methanesulfonate, toluene-sulfonate, hexachloroantimonate, hexafluoroantimonate or trifluoro-methanesulfonate as anion.
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